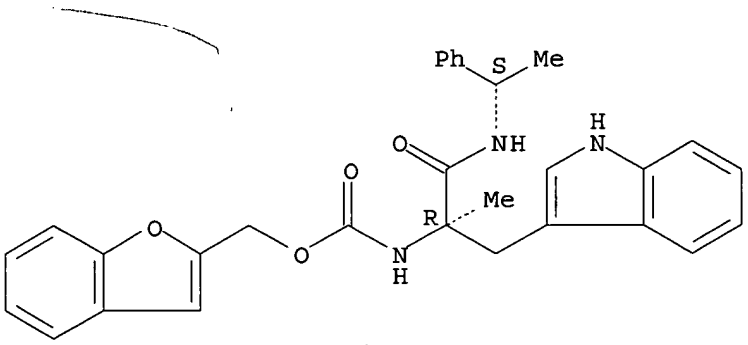
| L Number | Hits | Search Text | DB | Time stamp |
|---|---|---|---|---|
| 1 | 60 | pregabalin \and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB | 2004/01/16 20:47 |
| 2 | 60 | pregabalin and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB | 2004/01/16 20:47 |
| 3 | 66 | pregabalin and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB; DERWENT | 2004/01/16 20:47 |
| - | 1 | "5594022" .pn. | USPAT; US-PGPUB | 2004/01/16 18:07 |
| - | 100 | pregabalin and gabapentin | USPAT; US-PGPUB | 2004/01/16 18:07 |
| - | 52 | (pregabalin and gabapentin) and (psychiatric or anxiety or depression or phobia) | USPAT; US-PGPUB | 2004/01/16 20:47 |
| - | 52 | (pregabalin and gabapentin) and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB | 2004/01/16 20:47 |
| - | 56 | (pregabalin and gabapentin) and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:14 |
| - | 3 | "9733858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:14 |
| - | 0 | "199733858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:14 |
| - | 476 | ( gabapentin) and (psychiatric or anxiety or depression or phobia or panic or schizophrenia) | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:14 |
| - | 56 | (( gabapentin) and (psychiatric or anxiety or depression or phobia or panic or schizophrenia)) and pregabalin | USPAT; US-PGPUB; DERWENT | 2004/01/16 19:39 |
| - | 3 | "9733858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:15 |
| - | 11 | "973858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:15 |
| - | 1 | "wo9733858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:16 |
| - | 19 | "97/33858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:16 |
| - | 0 | "97 adj 33858" | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:16 |
| - | 2 | "5563175" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:54 |
| - | 2 | "5594022" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:42 |
| - | 2 | "5510381" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:43 |
| - | 2 | "5025035" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:43 |
| - | 2 | "5792796" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 18:43 |
| - | 3 | "4024175" .pn. | USPAT; US-PGPUB; DERWENT | 2004/01/16 19:40 |

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **158991-23-2** REGISTRY
CN Carbamic acid, [(1R)-1-(1H-indol-3-ylmethyl)-1-methyl-2-oxo-2-[[(1S)-1-phenylethyl]amino]ethyl]-, 2-benzofuranylmethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbamic acid, [1-(1H-indol-3-ylmethyl)-1-methyl-2-oxo-2-[(1-phenylethyl)amino]ethyl]-, 2-benzofuranylmethyl ester, [R-(R*,S*)]-
OTHER NAMES:
CN CI 1021
CN PD 154075
FS STEREOSEARCH
MF C30 H29 N3 O4
SR CA
LC STN Files: ADISINSIGHT, BIOBUSINESS, BIOSIS, CA, CAPLUS, CIN, IMSDRUGNEWS, IMSRESEARCH, RTECS*, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

16 REFERENCES IN FILE CA (1907 TO DATE)
16 REFERENCES IN FILE CAPLUS (1907 TO DATE)

4 ANSWER 33 OF 33 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 1999:160051 USPATFULL | | | |
| TITLE: | Use of a tachykinin antagonist for the manufacture of a medicament for the treatment of emesis | | | |
| INVENTOR(S): | Horwell, David Christopher, Cambridge, United Kingdom<br>Hughes, John, Cambridge, United Kingdom<br>Pritchard, Martyn Clive, Cambridgeshire, United Kingdom<br>Singh, Lakhbir, Cambridgeshire, United Kingdom | | | |
| PATENT ASSIGNEE(S): | Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation) | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 5998435 | | 19991207 | |
| | WO 9749393 | | 19971231 | |
| APPLICATION INFO.: | US 1998-194620 | | 19981201 | (9) |
| | WO 1997-US10503 | | 19970618 | |
| | | | 19981201 | PCT 371 date |
| | | | 19981201 | PCT 102(e) date |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | US 1996-21030P | | 19960626 (60) | |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | Granted | | | |
| PRIMARY EXAMINER: | Menley, III, Raymond | | | |
| LEGAL REPRESENTATIVE: | Anderson, Elizabeth M. | | | |
| NUMBER OF CLAIMS: | 7 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| NUMBER OF DRAWINGS: | 13 Drawing Figure(s); 13 Drawing Page(s) | | | |
| LINE COUNT: | 425 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention is directed to a method for the treatment of emesis comprising administering the compound [R,S]-[2-(1H-Indol-3-yl)-1-methyl-1-(1-phenyl-ethylcarbamoly)-ethyl]-carbamic acid benzofuran-2ylmethyl ester.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

4 ANSWER 31 OF 33 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2001:107883 USPATFULL | | | |
| TITLE: | Prodrugs of benzofuranylethyl carbamate NK1 antagonists | | | |
| INVENTOR(S): | Chen, Michael Huai Gu, Ann Arbor, MI, United States<br>Goel, Om Prakash, Ann Arbor, MI, United States<br>Hershenson, Fred M., Ann Arbor, MI, United States<br>Zhu, Zhijian, Farmington Hills, MI, United States<br>Chan, Oilun Helen, Canton, MI, United States | | | |
| PATENT ASSIGNEE(S): | Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation) | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 6258800 | B1 | 20010710 | |
| | WO 9952903 | | 19991021 | |
| APPLICATION INFO.: | US 2000-601570 | | 20000803 | (9) |
| | WO 1999-US6041 | | 19990319 | |
| | | | 20000803 | PCT 371 date |
| | | | 20000803 | PCT 102(e) date |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | GRANTED | | | |
| PRIMARY EXAMINER: | Lambkin, Deborah C. | | | |
| ASSISTANT EXAMINER: | D'Souza, Andrea | | | |
| LEGAL REPRESENTATIVE: | Anderson, Elizabeth M., Ashbrook, Charles W. | | | |
| NUMBER OF CLAIMS: | 20 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| LINE COUNT: | 1352 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

The instant invention provides aqueous soluble prodrugs of formula (I) or a pharmaceutically acceptable salt thereof wherein R is --CH.sub.2 OZ, --C(.dbd.O)OCH.sub.2 OZ or Z, wherein Z is formula (a), --P(.dbd.O)(OH).sub.2 or --C(.dbd.O)Q: n is an integer of from 0 to 3; m is an integer of from 0 to 1, of certain tachykinin antagonists (NK.sub.1 antagonists) useful in the treatment of emesis.

L4 ANSWER 30 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2003:134646 USPATFULL

TITLE: Use of substance P antagonists for the treatment of chronic fatigue syndrome and/or fibromyalgia and use of NK-1 receptor antagonists for the treatment of chronic fatigue syndrome

INVENTOR(S): Farber, Lothar, Heroldsberg, GERMANY, FEDERAL REPUBLIC OF
Mueller, Wolfgang, Binningen, SWITZERLAND
Stratz, Thomas, Bad Sackingen, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2003092735 | A1 | 20030515 |
| APPLICATION INFO.: | US 2002-222060 | A1 | 20020816 (10) |

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-792801, filed on 23 Feb 2001, PENDING Continuation of Ser. No. WO 1999-EP6215, filed on 24 Aug 1999, UNKNOWN

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | GB 1998-18467 | 19980825 |
| | GB 1998-26692 | 19981204 |

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027

NUMBER OF CLAIMS: 8

EXEMPLARY CLAIM: 1

LINE COUNT: 666

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the pharmaceutical use of specific substance P antagonists, in particular 1-acylpiperidine substance P antagonists, especially N-benzoyl-2-benzyl-4-(azanaphthoyl-amino)-piperidines, e.g. of formula ##STR1##

wherein X and Y are each independently of the other N and/or CH and the ring A is unsubstituted or mono- or poly-substituted by substituents selected from the group consisting of lower alkyl, lower alkoxy, halogen, nitro and trifluoromethyl; and pharmnaceutically acceptable salts thereof for treatment of chronic fatigue syndrome (CFS) in the absence of serotonin agonist/selective serotonin reuptake inhibitory therapy, or for the treatment of fibromyalgia or associated functional symptoms.

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:42272 CAPLUS
DOCUMENT NUMBER: 128:97714
TITLE: Use of a tachykinin antagonist, [R,S]-[2-(1H-Indol-3-yl)-1-methyl-1-(1-phenyl-ethylcarbamoyl)-ethyl]-carbamic acid benzofuran-2-ylmethyl ester, for the manufacture of a medicament for the treatment of emesis
INVENTOR(S): Horwell, David Christopher; Hugues, John; Pritchard, Martyn Clive; Singh, Lakhbir
PATENT ASSIGNEE(S): Warner-Lambert Co., USA; Horwell, David Christopher; Hugues, John; Pritchard, Martyn Clive; Singh, Lakhbir
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9749393 | A1 | 19971231 | WO 1997-US10503 | 19970618 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9735718 | A1 | 19980114 | AU 1997-35718 | 19970618 |
| AU 714542 | B2 | 20000106 | | |
| EP 912173 | A1 | 19990506 | EP 1997-932196 | 19970618 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| NZ 333062 | A | 20000623 | NZ 1997-333062 | 19970618 |
| JP 2000514047 | T2 | 20001024 | JP 1998-503257 | 19970618 |
| ZA 9705637 | A | 19980123 | ZA 1997-5637 | 19970625 |
| US 5998435 | A | 19991207 | US 1998-194620 | 19981201 |

PRIORITY APPLN. INFO.: US 1996-21030P P 19960626
WO 1997-US10503 W 19970618

AB A method is provided for the treatment of emesis, comprising administering a compd. named [R,S]-[2-(1H-Indol-3-yl)-1-methyl-1-(1-phenyl-ethylcarbamoyl)-ethyl]-carbamic acid benzofuran-2-ylmethyl ester.

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:849921 CAPLUS
DOCUMENT NUMBER: 123:275215
TITLE: Quantitative Structure-Activity Relationships (QSARs) of N-Terminus Fragments of NK1 Tachykinin Antagonists: A Comparison of Classical QSARs and Three-Dimensional QSARs from Similarity Matrixes
AUTHOR(S): Horwell, David C.; Howson, William; Higginbottom, Michael; Naylor, Dorica; Ratcliffe, Giles S.; Williams, Sophie
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Cambridge University Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: Journal of Medicinal Chemistry (1995), 38(22), 4454-62
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of three-dimensional quant. structure-activity relationships (QSARs) derived from classical QSAR descriptors and similarity indexes to rationalize the activity of 28 N-terminus fragments of tachykinin NK1

receptor antagonists was examd. Two different types of analyses, partial least squares and multiple regression, were performed in order to check the robustness of each derived model. The models derived using classical QSAR descriptors lacked accurate quant. and predictive abilities to describe the nature of the receptor-inhibitor interaction. However models derived using 3D QSAR descriptors based on similarity indexes were both robust and significantly predictive. The best model was obtained through the statistical anal. of mol. field similarity indexes (n = 28, r2 = 0.846, r(cv)2 = 0.737, s = 0.987, PRESS = 7.102) suggesting that electronic and size-related properties are the most relevant in explaining the affinity data of the training set. The overall quality and predictive ability of the models applied to the test set appear to be very high, since the predicted affinities of three test compds. agree with the exptl. detd. affinities obtained subsequently within the exptl. error of the binding data.

L4 ANSWER 24 OF 33 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2003:226374 USPATFULL | | | |
| TITLE: | Genetic polymorphisms in the preprotachy kinin gene | | | |
| INVENTOR(S): | Foernzler, Dorothee, Lenzburg, SWITZERLAND<br>Hashimoto, Lara, Basle, SWITZERLAND<br>Li, Jia, Union City, CA, UNITED STATES<br>Luedin, Eric, Liestal, SWITZERLAND<br>Sleight, Andrew, Riedisheim, FRANCE<br>Vankan, Pierre, Basle, SWITZERLAND | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 2003158187 | A1 | 20030821 | |
| APPLICATION INFO.: | US 2003-354693 | A1 | 20030130 | (10) |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | EP 2002-1937 | | 20020131 | |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | APPLICATION | | | |
| LEGAL REPRESENTATIVE: | HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110 | | | |
| NUMBER OF CLAIMS: | 31 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| NUMBER OF DRAWINGS: | 6 Drawing Page(s) | | | |
| LINE COUNT: | 1444 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for correlating single nucleotide polymorphisms in the preprotachykinin (NKNA) gene with the efficacy and compatibility of a pharmaceutically active compound administered to a human being. The invention further relates to a method for determining the efficacy and compatibility of a pharmaceutically active compound administered to a human being which method comprises determining at least one single nucleotide polymorphism in the NKNA gene. Said methods are based on determining specific single nucleotide polymorphisms in the NKNA gene and determining the efficacy and compatibility of a pharmaceutically active compound in the human by reference to polymorphism in NKNA. The invention further relates to isolated nucleic acids comprising within their sequence the polymorphisms as defined herein, to nucleic acid primers and oligonucleotide probes capable of hybridizing to such nucleic acids and to a diagnostic kit comprising one or more of such primers and probes for detecting a polymorphism in the NKNA gene, to a pharmaceutical pack comprising NK-1 receptor antagonists and instructions for administration of the drug to human beings tested for the polymorphisms as well as to a computer readable medium with the stored sequence information for the polymorphisms in the NKNA gene.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 25 OF 33 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2003:159802 USPATFULL | | | |
| TITLE: | Brain, spinal, and nerve injury treament | | | |
| INVENTOR(S): | Nimmo, Alan John, Townsville, AUSTRALIA<br>Vink, Robert, Pasadena, AUSTRALIA | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 2003109417 | A1 | 20030612 | |
| APPLICATION INFO.: | US 2002-181323 | A1 | 20021015 | (10) |
| | WO 2001-AU46 | | 20010118 | |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | AU 2000-5146 | | 20000118 | |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | APPLICATION | | | |
| LEGAL REPRESENTATIVE: | HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110 | | | |
| NUMBER OF CLAIMS: | 33 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| NUMBER OF DRAWINGS: | 1 Drawing Page(s) | | | |
| LINE COUNT: | 571 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A treatment for brain, spinal and nerve injury comprising use of a substance P receptor antagonist optionally in combination with a magnesium compound. There is also provided a formulation for use in this treatment comprising a substance P receptor antagonist and a magnesium compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 26 OF 33 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2003:4118 USPATFULL | | | |
| TITLE: | Use of NK-1 receptor antagonists against benign prostatic hyperplasia | | | |
| INVENTOR(S): | Buser, Susanne, Frenkendorf, SWITZERLAND<br>Ford, Anthony P.D.W., Mountain View, CA, UNITED STATES<br>Hoffmann, Torsten, Weil am Rhein, GERMANY, FEDERAL REPUBLIC OF<br>Lenz, Barbara, Bad Krozingen, GERMANY, FEDERAL REPUBLIC OF<br>Sleight, Andrew John, Riedisheim, FRANCE<br>Vankan, Pierre, Basel, SWITZERLAND | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 2003004157 | A1 | 20030102 | |
| APPLICATION INFO.: | US 2002-71570 | A1 | 20020208 | (10) |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | EP 2001-109853 | | 20010423 | |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | APPLICATION | | | |
| LEGAL REPRESENTATIVE: | Rohan Peries, Roche Bioscience, Patent Law Dept. M/S A2-250, 3401 Hillview Avenue, Palo Alto, CA, 94304 | | | |
| NUMBER OF CLAIMS: | 25 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| LINE COUNT: | 1676 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of an NK-1 receptor antagonist for the

treatment or prevention of benign prostatic hyperplasia (BPH). The preferred NK-1 receptor antagonists are compounds of the general formula ##STR1##

wherein the meanings of R, R.sup.1, R.sup.2, R.sup.2', R.sup.3, R.sup.4 are explained in the specification and the pharmaceutically acceptable acid addition salts and the prodrugs thereof Preferred compounds are 2-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-(6-morpholin-4-yl-4-o-tolyl-pyridin-3-yl)-isobutyramide, 2-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-[6-(4-methyl-piperazin-1-yl)-4-o-tolyl-pyridin-3-yl]-isobutyramide, 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.lambda..sup.6-thiomorpholin-4-yl)-4-o-tolyl-pyridin-3-yl]-N-methyl-isobutyramide and 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.lambda..sup.6-thiomorpholin-4-yl)-4-(4-fluoro-2-methyl-phenyl)-pyridin-3-yl]-N-methyl-isobutyramide. The invention also relates to pharmaceutical composition comprising one or more such NK-1 receptor antagonists and a pharmaceutically acceptable excipient for the treatment and/or prevention of benign prostatic hyperplasia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 27 OF 33 USPATFULL on STN

| | | | |
|---|---|---|---|
| ACCESSION NUMBER: | 2002:27435 USPATFULL | | |
| TITLE: | Method of treating symptoms of hormonal variation, including hot flashes, using tachykinin receptor antagonist | | |
| INVENTOR(S): | Guttuso, Thomas J., JR., Rochester, NY, UNITED STATES | | |

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2002016283 | A1 | 20020207 | |
| APPLICATION INFO.: | US 2001-879390 | A1 | 20010612 | (9) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-211116P | 20000612 (60) |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | Michael L. Goldman, NIXON PEABODY LLP, Clinton Square, P.O. Box 31051, Rochester, NY, 14603 |
| NUMBER OF CLAIMS: | 31 |
| EXEMPLARY CLAIM: | 1 |
| LINE COUNT: | 590 |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a methods of treating hot flashes and symptoms of hormonal variation in a patient, which methods include providing a tachykinin receptor antagonist and administering the tachykinin receptor antagonist to a patient experiencing a symptom of hormonal variation under conditions effective to treat the symptom of hormonal variation, which symptoms of hormonal variation can include hot flashes.

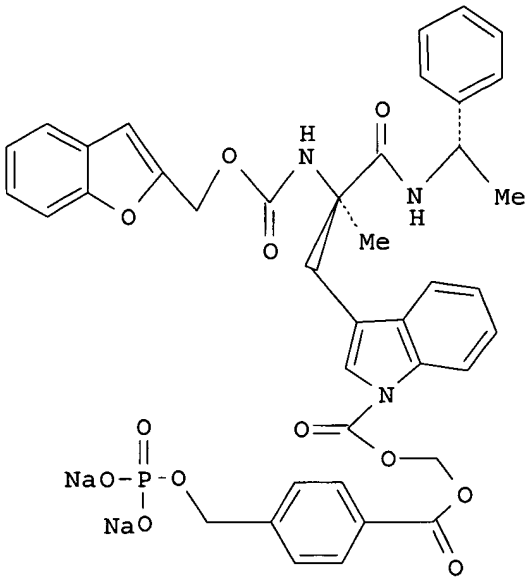
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:275762 CAPLUS
DOCUMENT NUMBER: 129:12660
TITLE: Evaluation of **PD 154075**, a tachykinin NK1 receptor antagonist, in a rat model of postoperative pain
AUTHOR(S): Gonzalez, M. Isabel; Field, Mark J.; Holloman, Elizabeth F.; Hughes, John; Oles, Ryszard J.; Singh, Lakhbir
CORPORATE SOURCE: Department of Biology, Cambridge University Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: European Journal of Pharmacology (1998), 344(2/3), 115-120
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB **PD 154075** ([(2-benzofuran)-CH2OCO]-(R)-.alpha.-MeTrp-(S)-NHCH(CH3)Ph) is a selective tachykinin NK1 receptor antagonist. Its effect on development and maintenance of thermal and mech. hypersensitivity was examd. in a rat model of surgical pain. When administered 30 min before surgery, **PD 154075** dose-dependently (3-100 mg/kg, s.c.) prevented the development of thermal and mech. hypersensitivity with resp. min. EDs of 10 and 30 mg/kg. These antihypersensitivity effects lasted for 72 h. In contrast, the administration of **PD 154075** (30 mg/kg, s.c.) after surgery had little or no effect on these nociceptive responses. **PD 154075** antagonized thermal hypersensitivity induced by intrathecal administration of substance P, over the same dose range that blocked surgical hypersensitivity. However, it only partially blocked the thermal hypersensitivity induced by the selective NK2 receptor agonist [.beta.-Ala8]neurokinin A-(4-10). Morphine dose-dependently (1-6 mg/kg, s.c.) lengthened isoflurane and pentobarbitone-induced sleeping time in the rat. In contrast, **PD 154075** (3-100 mg/kg, s.c.) did not interact with these anesthetics. It is suggested that tachykinin NK1 receptor antagonists, such as **PD 154075**, may possess therapeutic potential as pre-emptive antihypersensitive agents.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:181574 CAPLUS
DOCUMENT NUMBER: 126:258877
TITLE: The tachykinin NK1 receptor antagonist **PD 154075** blocks cisplatin-induced delayed emesis in the ferret
AUTHOR(S): Singh, Lakhbir; Field, Mark J.; Hughes, John; Kuo, Be-Sheng; Suman-Chauhan, Nirmala; Tuladhar, Bishwa R.; Wright, D. Scott; Naylor, Robert J.
CORPORATE SOURCE: Dep. Biology, Cambridge Univ. Forvie Site, Robinson Way, Cambridge, CB2 2QB, UK
SOURCE: European Journal of Pharmacology (1997), 321(2), 209-216
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The activity of a selective tachykinin NK1 receptor antagonist, **PD 154075** ([(2-benzofuran)-CH2OCO]-(R)-.alpha.-MeTrp-(S)-NHCH(CH3)Ph), was examd. in radioligand binding studies, in a [Sar9,Met(O2)11]substance P-induced foot-tapping model in the gerbil, and in cisplatin-induced acute and delayed emesis in the ferret. In

radioligand binding studies, **PD 154075** showed nanomolar for the human, guinea-pig, gerbil, dog and ferret NK1 receptors with an approx. 300 times lower affinity for the rodent NK1 receptor. Using NK2, NK3 receptors and a range of other receptor ligands, PD 15407 was shown to exhibit a high degree of selectivity and specificity for the human type NK1 receptor. Following s.c. administration **PD 154075** dose dependently (1-100 mg/kg) antagonized the centrally mediated [Sar9,Meet(O2)11] substance P-induced foot tapping in the gerbil with a min. ED (MED) of 100 mg/kg. The ability of **PD 154075** to readily penetrate into the brain following oral administration was confirmed by its extn. and high performance liq. chromatog. assay from the rat brain. **PD 154075** was shown to achieve a relatively fast and sustained brain concn. (brain/plasma ratios ranged from 0.27 to 0.41 during the time period of 0.25-12 h). Further pharmacokinetic studies revealed that the abs. oral bioavailability of **PD 154075** in the rat was (mean .+-. S.D.) 49 .+-. 15%. **PD 154075** (1-30 mg/kg, i.p.) dose dependently antagonized the acute vomiting and retching in the ferret measured for 4 h following administration of cisplatin (10 mg/kg, i.p.) with a MED of 3 mg/kg. The administration of a lower dose of cisplatin (5 mg/kg, i.p.) in the ferret induces both an acute (day 1) and delayed (days 2 and 3) phase of emesis. The i.p. administration of **PD 154075**, 10 mg/kg three times a days for 3 days, almost completely blocked both the acute and delayed emetic responses. In the same study, the 5-HT3 receptor antagonist ondansetron (1 mg/kg, i.p., t.i.d.) was also very effective against the acute emetic response obsd. during the first 4 h following cisplatin, but it was only weakly active against the delayed response. In conclusion, **PD 154075** is a selective and specific high affinity NK1 receptor antagonist with good oral bioavailability which is effective against both acute and delayed emesis induced by cisplatin in the ferret.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:379681 CAPLUS
DOCUMENT NUMBER: 133:120391
TITLE: Phosphate prodrugs of **PD 154075**
AUTHOR(S): Zhu, Zhijian; Chen, Huai-Gu; Goel, Om P.; Chan, O. Helen; Stilgenbauer, Linda A.; Stewart, Barbra H.
CORPORATE SOURCE: Division of Warner-Lambert Company, Chemical Development, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1121-1124
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB In the prepn. of phosphate prodrugs of **PD 154075**, several strategies of linking a phosphate group to the indole moiety were studied. A novel linker, p-hydroxymethylbenzoyloxymethoxycarbonyl, was discovered to provide the phosphate prodrug I of **PD 154075** with significantly increased aq. soly., sufficient stability in aq. soln. and good bio-reconversion in vivo.
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs 4-33

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:536312 CAPLUS
DOCUMENT NUMBER: 134:141620
TITLE: Evaluation of selective NK1 receptor antagonist **CI-1021** in animal models of inflammatory and neuropathic pain
AUTHOR(S): Gonzalez, Maria I.; Field, Mark J.; Hughes, John; Singh, Lakhbir
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Cambridge University, Cambridge, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2000), 294(2), 444-450
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB **CI-1021** ([(2-benzofuran)-CH2OCO]-(R)-.alpha.-MeTrp-(S)-NHCH(CH3)Ph) is a selective and competitive neurokinin-1 (NK1) receptor antagonist. This study examines its activity in animal models of inflammatory and neuropathic pain. In mice, **CI-1021** (1-30 mg/kg, s.c.) dose dependently blocked the development of the late phase of the formalin response with a min. ED (MED) of 3 mg/kg. Two chem. unrelated NK1 receptor antagonists, CP-99,994 (3-30 mg/kg) and SR 140333 (1-100 mg/kg), also dose dependently blocked the late phase, with resp. MEDs of 3 and 10 mg/kg. PD 156982, a NK1 receptor antagonist with poor

central nervous system penetration, failed to have any effect. However, when administered i.c.v., it selectively blocked the late phase of the formalin response. Chronic constrictive injury (CCI) to a sciatic nerve in the rat induced spontaneous pain, thermal and mech. hyperalgesia, and cold, dynamic, and static allodynia. **CI-1021** (10-100 mg/kg) and morphine (3 mg/kg) blocked all the responses except dynamic allodynia. Carbamazepine (100 mg/kg) was weakly effective against all the responses. Once daily administration of morphine (3 mg/kg, s.c.) in CCI rats led to the development of tolerance within 6 days. Similar administration of **CI-1021** (100 mg/kg, s.c.) for up to 10 days did not induce tolerance. Moreover, the morphine tolerance failed to cross-generalize to **CI-1021**. **CI-1021** blocked the CCI-induced hypersensitivity in the guinea pig, with a MED of 0.1 mg/kg, p.o. **CI-1021** (10-100 mg/kg, s.c.) did not show sedative/ataxic action in the rat rota-rod test. It is suggested that NK1 receptor antagonists possess a superior side effect profile to carbamazepine and morphine and may have a therapeutic use for the treatment of inflammatory and neuropathic pain.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:710478 CAPLUS
DOCUMENT NUMBER: 132:87543
TITLE: Design of non-peptide agonists and antagonists for neuropeptide receptors
AUTHOR(S): Horwell, David C.; Pritchard, Martyn C.; Raphy, Jenny
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Cambridge University Forvie Site, Cambridge, UK
SOURCE: Advances in Amino Acid Mimetics and Peptidomimetics (1999), 2, 165-190
CODEN: AAAMF9
PUBLISHER: JAI Press Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

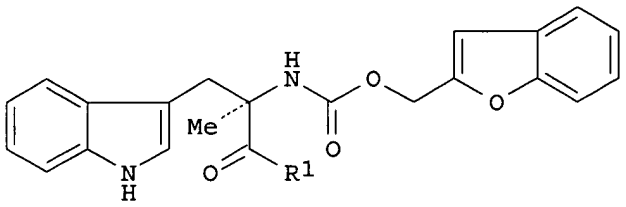
AB A review, with 61 refs. The neuropeptides cholecystokinin (CCK), substance-P, and bombesin have been subjected to the "Peptoid Design Strategy" to give small mol. non-peptide agonists and antagonists at their receptors. This strategy essentially identifies by receptor-binding assays the 2-3 key amino acid residues in each neuropeptide as "hot-spots" for receptor affinity, and then chem. modifies them to produce non-peptide ligands. By this strategy we have designed the non-peptide CCK-antagonist PD 140548; mixed CCK-A/B antagonist PD 142898; CCK-B antagonist PD 134308 (CI-988); CCK-B agonist PD 136450; substance-P (tachykinin) NK1 antagonist **PD 154075** (**CI-1021**); NK2 antagonist PD 147714; NK3 antagonist PD 161182; bombesin BB1 antagonist PD 165929, and mixed BB1/BB2 antagonist PD 176252. All these nine examples of novel compds. have nanomolar affinity for their resp. receptors and their design, we feel, vindicates the peptoid design strategy as an approach to discovery of therapeutically useful agents.

REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:748404 CAPLUS
DOCUMENT NUMBER: 134:86115
TITLE: Synthesis of 14C-labeled S-(-)-1-phenylethylamine and its application to the synthesis of [14C] **CI-1021**, a potential antiemetic agent
AUTHOR(S): Zhang, Yinsheng
CORPORATE SOURCE: Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2000), 43(11), 1087-1093

CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:86115
GI

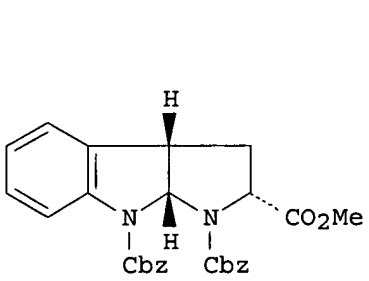


I

AB The title phenylethylamine (S)-RCHMeNH2 (R = U-ring-14C-phenyl) was prepd. via enantioselective borane redn. of trans-[U-ring-14C]acetophenone oxime Me ether derived from [U-ring-14C]acetophenone. The overall radiochem. yield was 66.7% and the enantiomeric excess was 96.6%. Coupling of (S)-RCHMeNH2 with the (R)-[(benzo[b]furanylmethoxy)carbonyl]methyltryptophan I (R1 = OH) gave labeled carbamic acid **CI-1021** I [R1 = (S)-RCHMeNH], a potential antiemetic agent.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:801039 CAPLUS
DOCUMENT NUMBER: 128:75654
TITLE: Tetrahydropyrrolo[2,3-b]indole-1,2,8-tricarboxylic acid ester in the enantiospecific preparation of .alpha.-methyltryptophan: application in the preparation of carbon-14 labeled PD 145942 and **PD 154075**
AUTHOR(S): Ekhato, I. Victor; Huang, Yun
CORPORATE SOURCE: Parke-Davis Pharmaceutical Research Division of Warner-Lambert Company, Department of Chemical Development, Ann Arbor, MI, 48105, USA
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1997), 39(12), 1019-1038
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:75654
GI

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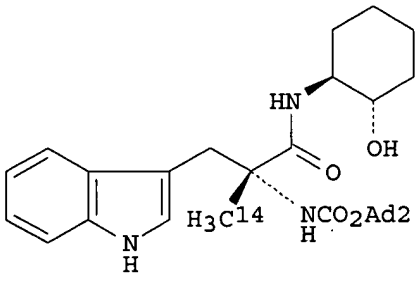
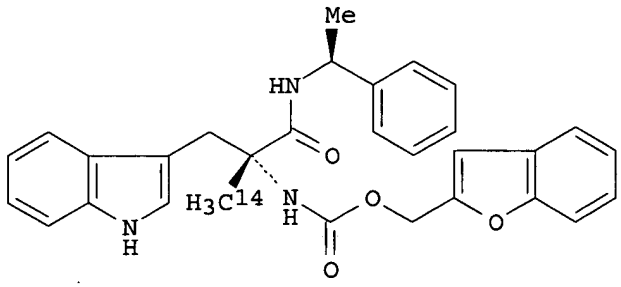



II



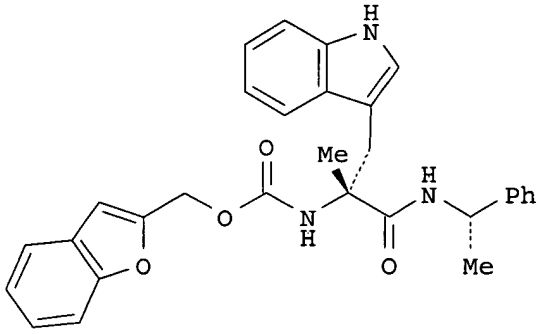

III

AB [2R-(2.alpha., 3a.beta., 8a.beta.)]-2,3,3a,8a-Tetrahydro-pyrrolo[2,3-b]indole-1,2,8-tricarboxylic acid-1,8-dibenzyl ester 2-Me ester (I), its [2S-(2.beta., 3a.alpha., 8a.alpha.)]-isomer, and the tribenzyl ester analogs were prepd. From these [2,3-b]indole-1,2,8-tricarboxylic acid esters we accomplished a simple, high yielding prepn. of enantiopure .alpha.-methyltryptophan and Me ester derivs. Using this protocol, we inexpensively made (R)-.alpha.-[14C]methyltryptophan Me ester, and in subsequent reactions converted it into PD 145942, II (Ad2 = 2-adamantyl) and **PD 154075**, III. Both of these compds. are drug candidates in preclin. study for the treatment of anxiety and emesis resp.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:681116 CAPLUS
DOCUMENT NUMBER: 121:281116
TITLE: Rational design of high affinity tachykinin NK1 receptor antagonists
AUTHOR(S): Boyle, Steven; Guard, Steven; Higginbottom, Michael; Horwell, David C.; Howson, William; McKnight, Alexander; Martin, Kevan; Pritchard, Martyn C.; O'Toole, John; et al.
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Addenbrookes Hospital Site, Cambridge, CB2 2QB, UK
SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(5), 357-70
CODEN: BMECEP; ISSN: 0968-0896
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The rational design of a nonpeptide tachykinin NK1 receptor antagonist I ( **PD 154075**) is described. I has Ki = 9 and 0.35 nM for the NK1 receptor binding site in guinea pig cerebral cortex membranes and human IM9, cells resp. (using [125I] Bolton-Hunger-SP as the radioligand). It is a potent antagonist in vitro where it antagonizes the contractions mediated by SPOMe in the guinea-pig ileum (KB = 0.3 nM). I is active in vivo in the guinea pig plasma extravasation model, where it is able to block the SPOMe-induced protein plasma extravasation (monitored by Evans Blue) in the bladder with an ID50 of 0.02 mg kg-1 i.v.

L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:417501 CAPLUS
DOCUMENT NUMBER: 135:162091
TITLE: Utilization of an Intramolecular Hydrogen Bond To Increase the CNS Penetration of an NK1 Receptor Antagonist
AUTHOR(S): Ashwood, Valerie A.; Field, Mark J.; Horwell, David C.; Julien-Larose, Christine; Lewthwaite, Russell A.; McCleary, Scott; Pritchard, Martyn C.; Raphy, Jenny; Singh, Lakhbir
CORPORATE SOURCE: Pfizer Global Research and Development Cambridge University Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: Journal of Medicinal Chemistry (2001), 44(14), 2276-2285
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:162091
AB This paper describes the synthesis and phys. and biol. effects of introducing different substituents at the .alpha.-position of the tryptophan contg. neurokinin-1 receptor antagonist [(R)-2-(1H-indol-3-yl)-1-methyl-1-((S)-1-phenyl-ethylcarbamoyl)ethyl]carbamic acid benzofuran-2-yl-Me ester (**CI 1021**). The described compds. all exhibit less than 5 nM binding affinities for the human neurokinin-1 receptor and selectivity over the tachykinin NK2 and NK3 receptor subtypes. Application of variable temp. NMR spectroscopy studies of the amide and urethane protons was utilized to det. the existence of an intramol. hydrogen bond. This intramol. hydrogen bond increases the apparent lipophilicity to allow increased central nervous system penetration and pharmacol. activity (gerbil foot tap test) in the case of the highest affinity compd. [(S)-1-dimethylaminomethyl-2-(1H-indol-3-yl)-1-((S)-1-phenyl-ethylcarbamoyl)ethyl]carbamic acid benzofuran-2-yl-Me ester (PD 174424) over those analogs that could not form an intramol. hydrogen bond.
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:407860 CAPLUS
DOCUMENT NUMBER: 125:184873
TITLE: 'Targeted' molecular diversity: design and development of non-peptide antagonists for cholecystokinin and tachykinin receptors
AUTHOR(S): Horwell, David; Pritchard, Martyn; Raphy, Jennifer; Ratcliffe, Giles
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, The Forvie Site, Robinsin Way, Cambridge, CB2 2QB, UK
SOURCE: Immunopharmacology (1996), 33(1-3, Papers presented at KININ '95, Fourteenth International Symposium on Bradykinin and Related Kinins, 1995), 68-72
CODEN: IMMUDP; ISSN: 0162-3109
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A drug design strategy to non-peptide small mol. antagonists of neuropeptides is described that targets the mol. diversity which exists in the 'privileged' data set of the physico-chem. properties represented by the side-chains of the 20 genetically encoded amino acids. The strategy is exemplified by the design of a selective and high affinity cholecystokinin CCK-A antagonist PD 140548, CCK-B antagonist CI-988 (formerly PD 134308) tachykinin NK-1 antagonist **PD 154075** and NK-2 antagonist Cam-2291. The NK-3 antagonists, PD 157672 and the non-peptide PD 161182, were developed from an information-rich dipeptide library constructed from 256 N-protected dipeptides and 64 hydrophobic biased dipeptides.

L4 ANSWER 11 OF 33 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1997:470480 BIOSIS
DOCUMENT NUMBER: PREV199799769683
TITLE: Effects of the selective NK-1 receptor antagonist **PD 154075** on plasma protein extravasation in guinea-pig airways.
AUTHOR(S): Meecham, K. [Reprint author]; Purbrick, S. [Reprint author]; Blyth, K. [Reprint author]; Planquois, J.-M.; Mottin, G.; Payne, A.; Hughes, J. [Reprint author]; Williams, R. [Reprint author]
CORPORATE SOURCE: Parke-Davis Neurosci. Res. Centre, Forvie Site, Robinson Way, Cambridge CB2 2QB, UK
SOURCE: Society for Neuroscience Abstracts, (1997) Vol. 23, No. 1-2, pp. 674.
Meeting Info.: 27th Annual Meeting of the Society for Neuroscience, Part 1. New Orleans, Louisiana, USA. October 25-30, 1997.
ISSN: 0190-5295.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 4 Nov 1997
Last Updated on STN: 10 Dec 1997

L4 ANSWER 12 OF 33 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2000:331229 BIOSIS
DOCUMENT NUMBER: PREV200000331229
TITLE: Synergistic effects of the two non-peptide tachykinin antagonists, **CI-1021** and GR159897, on capsaicin-induced bronchoconstriction in the anaesthetised guinea-pig.
AUTHOR(S): Purbrick, S. [Reprint author]; Williams, R. G. [Reprint author]; McKnight, A. T. [Reprint author]; Meecham, K. [Reprint author]
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Cambridge

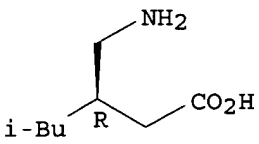
University, Robinson Way, Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: British Journal of Pharmacology, (January, 2000) Vol. 129, No. Proceedings Supplement, pp. 231P. print.
Meeting Info.: Meeting of the British Pharmacological Society. Cambridge, England, UK. January 05-07, 2000. British Pharmacological Society.
CODEN: BJPCBM. ISSN: 0007-1188.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 Aug 2000
Last Updated on STN: 7 Jan 2002

L4 ANSWER 13 OF 33 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2000:330071 BIOSIS
DOCUMENT NUMBER: PREV200000330071
TITLE: Gabapentin and the NK1 receptor antagonist **CI-1021** act synergistically to block allodynia induced in a rat model of neuropathic pain.
AUTHOR(S): Field, M. J. [Reprint author]; McCleary, S. [Reprint author]; Singh, L. [Reprint author]
CORPORATE SOURCE: Parke-Davis Neuroscience Research Centre, Robinson Way, Forvie Site, Cambridge, CB2 2QB, UK
SOURCE: British Journal of Pharmacology, (January, 2000) Vol. 129, No. Proceedings Supplement, pp. 79P. print.
Meeting Info.: Meeting of the British Pharmacological Society. Cambridge, England, UK. January 05-07, 2000. British Pharmacological Society.
CODEN: BJPCBM. ISSN: 0007-1188.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 Aug 2000
Last Updated on STN: 7 Jan 2002

L4 ANSWER 14 OF 33 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1997:8033 BIOSIS
DOCUMENT NUMBER: PREV199799307236
TITLE: Brain penetration of the new lead compound **PD 154075** in rats.
AUTHOR(S): Van Noord, Ted; Wright, D. Scott; Kuo, Be-Sheng
CORPORATE SOURCE: Dep. Pharmacokinetics Drug Metabolism, Parke-Davis Pharmaceutical Research, Div. Warner-Lambert Co., Ann Arbor, MI 48105, USA
SOURCE: Pharmaceutical Research (New York), (1996) Vol. 13, No. 9 SUPPL., pp. S419.
Meeting Info.: Annual Meeting of the American Association of Pharmaceutical Scientists. Seattle, Washington, USA. October 27-31, 1996.
CODEN: PHREEB. ISSN: 0724-8741.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Jan 1997
Last Updated on STN: 11 Feb 1997

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 148553-51-9 REGISTRY
CN Hexanoic acid, 3-(aminomethyl)-5-methyl-, (3R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Hexanoic acid, 3-(aminomethyl)-5-methyl-, (R)-
OTHER NAMES:
CN **(R)-Pregabalin**
CN PD 144550
FS STEREOSEARCH
MF C8 H17 N O2
CI COM
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, IMSPATENTS, IMSRESEARCH, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (-).

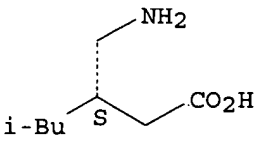



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

15 REFERENCES IN FILE CA (1907 TO DATE)
15 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 148553-50-8 REGISTRY
CN Hexanoic acid, 3-(aminomethyl)-5-methyl-, (3S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Hexanoic acid, 3-(aminomethyl)-5-methyl-, (S)-
OTHER NAMES:
CN CI 1008
CN PD 144723
CN **Pregabalin**
FS STEREOSEARCH
MF C8 H17 N O2
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CIN, DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).

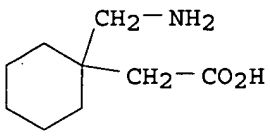



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

128 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
128 REFERENCES IN FILE CAPLUS (1907 TO DATE)

5 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2004 ACS on STN
RN 60142-96-3 REGISTRY
CN Cyclohexaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(Aminomethyl)cyclohexaneacetic acid
CN CI 945
CN **Gabapentin**
CN Go 3450
CN GOE 2450
CN GOE 3450
CN Neurontin
FS 3D CONCORD
MF C9 H17 N O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, MSDS-OHS, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

798 REFERENCES IN FILE CA (1907 TO DATE)
29 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
801 REFERENCES IN FILE CAPLUS (1907 TO DATE)